

10/601,070

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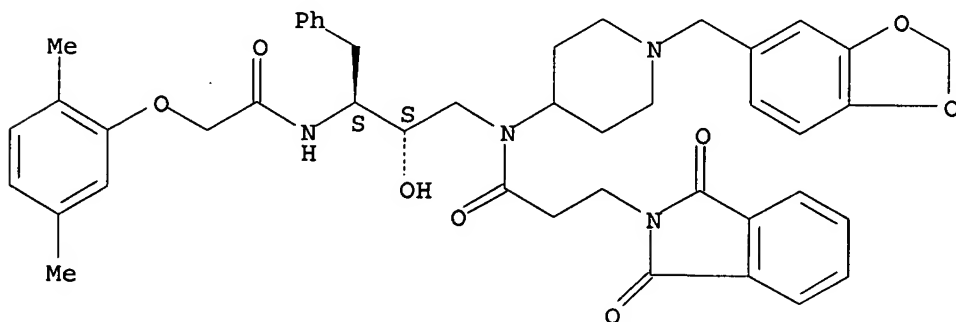
STN- structure Search

8/20/06

X L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2006:425887 CAPLUS  
DOCUMENT NUMBER: 144:445377  
TITLE: Methods for treating neurodegenerative disorders using  
aspartyl protease inhibitors  
INVENTOR(S): Kuntz, Irwin D.; Bi, Xiaoning; Lee, Christina E.;  
Skillman, A. Geoffrey; Haque, Tasir; Ellman, Jonathan  
A.; Lynch, Gary  
PATENT ASSIGNEE(S): The Regents of the University of California, USA  
SOURCE: Aust. Pat. Appl., 106 pp.  
CODEN: AUXXCM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2005201481	A1	20050505	AU 2005-201481	20050407
PRIORITY APPLN. INFO.:			AU 2000-37717	A3 20000324
AB	The invention discloses non-peptide aspartyl protease inhibitors (preparation included), methods for modulating the processing of amyloid precursor protein, methods for modulating the processing of tau protein, and methods for treating neurodegenerative diseases.			
IT	296780-77-3 296780-78-4 296780-79-5 296780-80-8 296780-84-2 296780-85-3 296780-87-5 296780-88-6 296780-90-0 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aspartyl protease inhibitors for treatment of neurodegenerative disorders)			
RN	296780-77-3 CAPLUS			
CN	2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[[(2,5-dimethylphenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)			

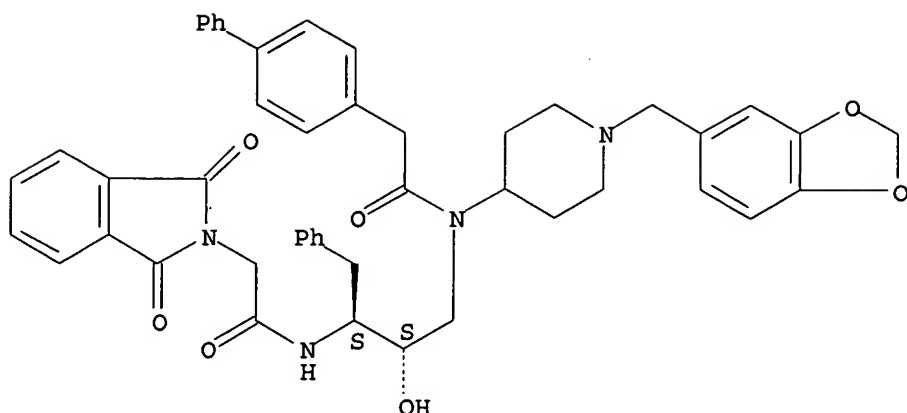
Absolute stereochemistry.



RN 296780-78-4 CAPLUS  
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[[(2E)-3-(2,6-dichlorophenyl)-1-oxo-2-propenyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

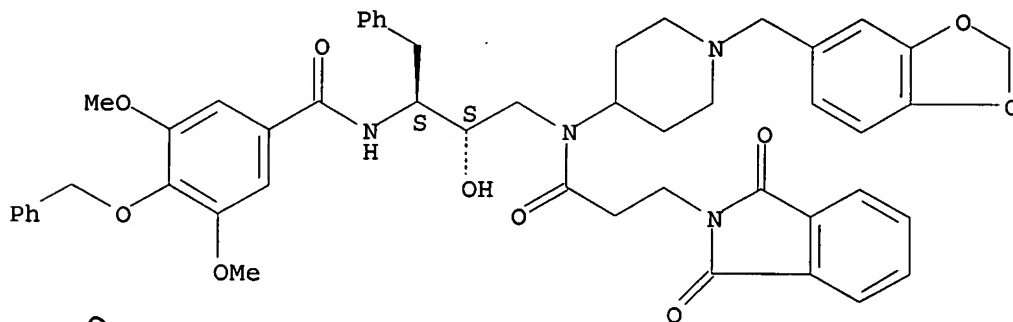
Absolute stereochemistry.  
Double bond geometry as shown.

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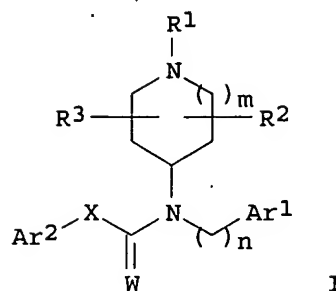
RN 296780-90-0 CAPLUS  
CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[3,5-dimethoxy-4-(phenylmethoxy)benzoyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*Inventor*  
L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:451629 CAPLUS  
DOCUMENT NUMBER: 141:23543  
TITLE: Preparation of N-substituted piperidine derivatives as serotonin receptor agents  
INVENTOR(S): Andersson, Carl-Magnus; Schlienger, Nathalie; Fejzic, Alma; Hansen, Eva Louise; Pawlas, Jan  
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., Swed.  
SOURCE: U.S. Pat. Appl. Publ., 44 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004106600	A1	20040603	US 2003-601070	20030620
US 2006094758	A1	20060504	US 2005-299566	20051212
PRIORITY APPLN. INFO.:			DK 2002-973	A 20020624
			US 2002-391269P	P 20020624
			US 2003-601070	A1 20030620
OTHER SOURCE(S):	MARPAT 141:23543			
GI				



AB Disclosed herein are compds. of formula (I), pharmaceutically acceptable salts, amides, esters, or prodrugs thereof [wherein R1 = each (un)substituted heterocyclyl or heterocyclyl-C1-6 alkyl; R2, R3 = H, C1-6 alkyl, or halogen or such that R2 together with R3 forms a ring; m = 0, 1, 2; n = 1, 2, 3; Ar1 = each (un)substituted aryl or heteroaryl; W = O, S; X = each (un)substituted methylene, ethylene, propylene, or vinylene, CH2NR (wherein R = H, C1-6 alkyl); Ar2 = each (un)substituted aryl or heteroaryl]. Also disclosed are. (1) methods of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or

more of the compds. of formula I, (2) methods of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or

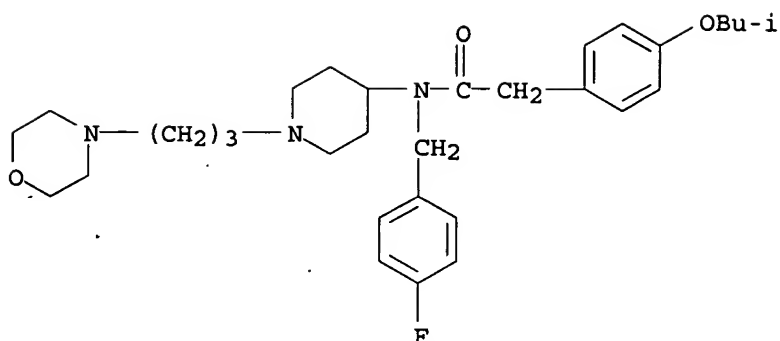
more of the compds. of formula I, and (3) methods of treating a disease condition associated with a monoamine receptor, in particular serotonin receptor 5-HT2A subclass. The disease condition is selected from (a) the group consisting of schizophrenia, schizoaffective disorders, psychosis, drug induced psychosis, and side effects observed with the treatment of chronic neurodegenerative disorders with a selective serotonin reuptake inhibitor (SSRI), wherein said neurodegenerative disorder is selected from Alzheimer's disease, Parkinson's disease, Lewy body dementia, frontotemporal dementia, spinocerebellar atrophy, and Huntington's disease; and (b) the group consisting of Reynaud's Phenomena, migraine, hypertension, thrombosis, vasospasm, ischemia, depression, anxiety, motor tics, Tourette's syndrome, dyskinesias, on/off phenomena, tremor, rigidity, bradykinesia, psychomotor slowing, addiction, including alc. addiction, opioid addiction, and nicotine addiction, sleep disorders, appetite disorders, and decreases in libido and ejaculatory problems. Thus, N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-[3-(4-S)-isopropyl-2-oxooxazolidin-3-yl]propyl]piperidin-4-yl]acetamide oxalate, which was prepared by alkylation of N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-piperidin-4-yl]acetamide with (4S)-3-(3-chloropropyl)-4-isopropylloxazolidin-2-one, inhibited 5-HT2A receptor by 104% in a receptor selection and amplification (R-SAT) assay using NIH3T3 cells.

IT **639861-75-9P**, N-[1-[2-(1,3-Dioxan-2-yl)ethyl]piperidin-4-yl]-N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)acetamide **639862-90-1P**, N-[1-[2-(1,3-Dioxan-2-yl)ethyl]piperidin-4-yl]-N-(4-fluorobenzyl)-2-(4-isopropylphenyl)acetamide **639862-92-3P**, N-[1-[2-(1,3-Dioxan-2-yl)ethyl]piperidin-4-yl]-N-(4-fluorobenzyl)-2-(4-trifluoromethoxyphenyl)acetamide **639863-26-6P**, N-(4-Fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-[3-(2-oxopiperidin-1-yl)propyl]piperidin-4-yl]acetamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

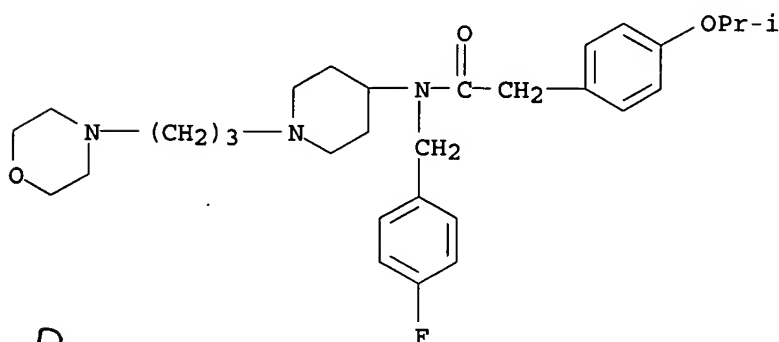
(intermediate; preparation of N-substituted piperidine derivs. as serotonin

10/601,070



RN 698398-05-9 CAPLUS

CN Benzeneacetamide, N-[(4-fluorophenyl)methyl]-4-(1-methylethoxy)-N-[1-[3-(4-morpholinyl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2854 CAPLUS

DOCUMENT NUMBER: 140:77030

TITLE: Preparation of 1,4-disubstituted piperidines as serotonin 5-HT<sub>2A</sub> inverse agonists.

INVENTOR(S): Andersson, Carl-Magnus; Schlienger, Nathalie; Fejzic, Alma; Hansen, Eva Louise; Pawlas, Jan

PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000808	A2	20031231	WO 2003-US19797	20030620
WO 2004000808	A3	20040325		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

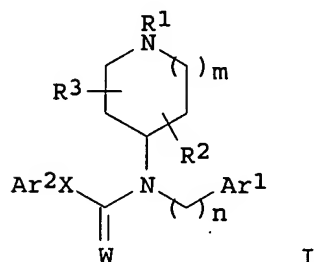
CA 2490397	AA	20031231	CA 2003-2490397	20030620
AU 2003247615	A1	20040106	AU 2003-247615	20030620
BR 2003012217	A	20050510	BR 2003-12217	20030620
EP 1562937	A2	20050817	EP 2003-761275	20030620

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1675201	A	20050928	CN 2003-818839	20030620
JP 2005533813	T2	20051110	JP 2004-516166	20030620
ZA 2004010408	A	20050922	ZA 2004-10408	20041223

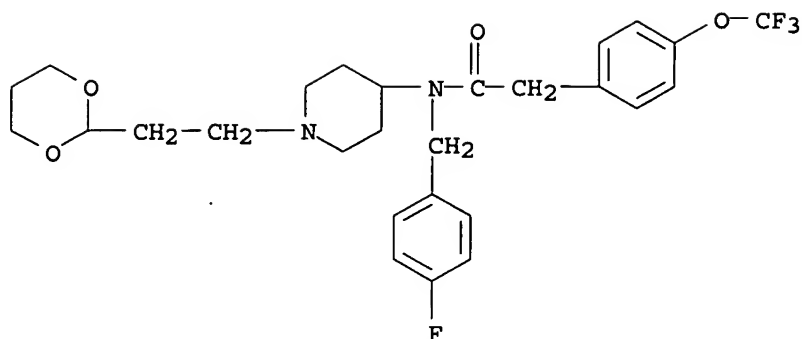
PRIORITY APPLN. INFO.: US 2002-391269P P 20020624  
WO 2003-US19797 W 20030620

OTHER SOURCE(S): MARPAT 140:77030  
GI



AB Title compds. [I; R1 = (substituted) heterocyclyl, heterocyclylalkyl; R2, R3 = H, alkyl, halo; R2R3 = atoms to form a ring; m = 0-2; n = 1-3; Ar1 = (substituted) aryl, heteroaryl; W = O, S; X = (substituted) methylene, ethylene, propylene, vinylene, CH2N(Rn); Rn = H, alkyl; Ar2 = (substituted) aryl, heteroaryl], were prepared. Thus, a mixture of N-(4-fluorobenzyl)-N-(piperidin-4-yl)-2-(4-isobutoxyphenyl)acetamide, K2CO3, NaI, and (4S)-3-(3-chloropropyl)-4-isopropylloxazolidinon-2-one were stirred overnight to give 71% N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-[3-(4-(S)-isopropyl-2-oxooxazolidin-3-yl)propyl]piperidin-4-yl]acetamide oxalate (117NLS01). The latter showed pIC50 = 9.7 for repression of 5-HT2A receptor activity.

IT 639861-39-5P 639861-40-8P 639861-42-0P  
639861-43-1P 639861-44-2P 639861-45-3P  
639861-46-4P 639861-47-5P 639861-50-0P  
639861-53-3P 639861-56-6P 639861-59-9P  
639861-62-4P 639861-63-5P 639861-64-6P  
639861-67-9P 639861-70-4P 639861-73-7P  
639861-76-0P 639861-79-3P 639861-82-8P  
639861-85-1P 639861-91-9P 639861-95-3P  
639861-97-5P 639861-99-7P 639862-04-7P  
639862-05-8P 639862-08-1P 639862-11-6P  
639862-13-8P 639862-15-0P 639862-16-1P  
639862-17-2P 639862-18-3P 639862-19-4P  
639862-20-7P 639862-21-8P 639862-22-9P  
639862-29-6P 639862-30-9P 639862-31-0P  
639862-33-2P 639862-39-8P 639862-40-1P  
639862-41-2P 639862-42-3P 639862-56-9P  
639862-57-0P 639862-58-1P 639862-60-5P  
639862-61-6P 639862-62-7P 639862-63-8P  
639862-64-9P 639862-65-0P 639862-66-1P  
639862-67-2P 639862-68-3P 639862-71-8P  
639862-73-0P 639862-75-2P 639862-80-9P  
639862-81-0P 639862-83-2P 639862-84-3P

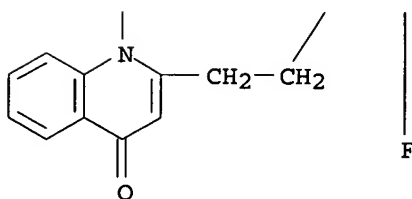
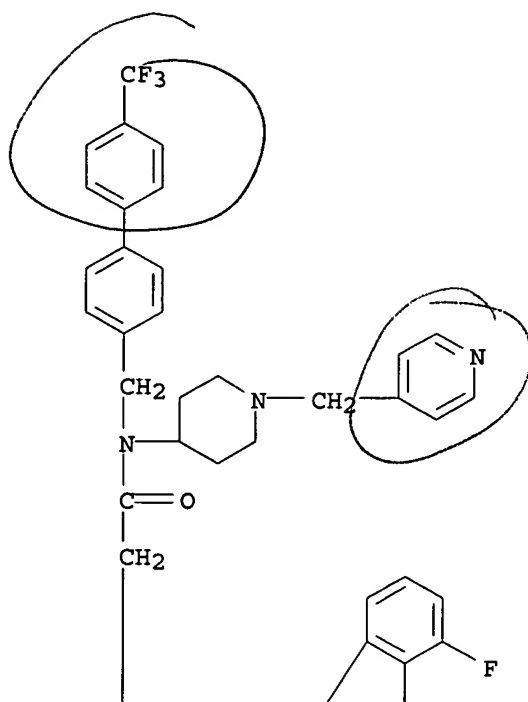


L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:836853 CAPLUS  
 DOCUMENT NUMBER: 139:337978  
 TITLE: Preparation of N-substituted pyridinone and  
 pyrimidinone derivatives for use as Lp-PLA2 inhibitors  
 in the treatment of atherosclerosis  
 INVENTOR(S): Leach, Colin Andrew; Smith, Stephen Allan  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086400	A1	20031023	WO 2003-GB1544	20030410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003217074	A1	20031027	AU 2003-217074	20030410
EP 1492533	A1	20050105	EP 2003-712462	20030410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533757	T2	20051110	JP 2003-583419	20030410
US 2005245552	A1	20051103	US 2005-510467	20050525
PRIORITY APPLN. INFO.:				
			GB 2002-8279	A 20020410
			WO 2003-GB1544	W 20030410
OTHER SOURCE(S): MARPAT 139:337978				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = (un)substituted aryl; R2 = halo, alkyl, alkoxy,  
 etc.; R3 = H, halo, alkyl, hydroxyalkyl; R2 and R3 together with the  
 pyridone or pyrimidone ring carbons to which they are attached form

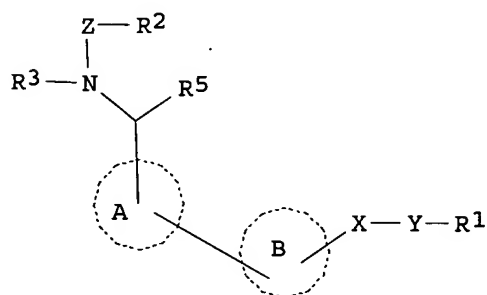


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

X L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:551495 CAPLUS  
 DOCUMENT NUMBER: 139:101034  
 TITLE: Preparation of biaryl compounds as melanocortin agonists or antagonists  
 INVENTOR(S): Cho, Nobuo; Aso, Kazuyoshi; Endo, Satoshi; Kanzaki, Naoyuki; Sasaki, Satoshi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 137 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057671	A1	20030717	WO 2002-JP13655	20021226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,  
 PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2002367427 A1 20030724 AU 2002-367427 20021226  
 JP 2003252857 A2 20030910 JP 2002-377946 20021226  
 EP 1466904 A1 20041013 EP 2002-790892 20021226  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 US 2005096359 A1 20050505 US 2003-499903 20021226  
 PRIORITY APPLN. INFO.: JP 2001-401303 A 20011228  
 WO 2002-JP13655 W 20021226  
 OTHER SOURCE(S): MARPAT 139:101034  
 GI



AB The title compds. I [ring A and ring B each represents an optionally further substituted six-membered aromatic ring; X represents CONR<sub>4</sub>, SO<sub>2</sub>NR<sub>4</sub>, CH<sub>2</sub>NR<sub>4</sub> (R<sub>4</sub> represents hydrogen, an optionally substituted hydrocarbon group, etc.), etc.; Y represents a spacer consisting of 1 to 12 atoms; Z represents CONR<sub>6</sub>, CO (R<sub>6</sub> represents hydrogen, an optionally substituted hydrocarbon group, or an optionally substituted heterocyclic group), etc.; R<sub>1</sub> represents optionally substituted amino, etc.; R<sub>2</sub> represents an optionally substituted hydrocarbon group, etc.; R<sub>3</sub> represents an optionally substituted hydrocarbon group, etc.; and R<sub>5</sub> represents an optionally substituted hydrocarbon group, etc.] are prepared In a test for inhibition of binding to the MC<sub>4</sub>R receptors, compds. of this invention at 10 μM showed 92% to 100% binding inhibition. Formulations are given.

IT 561030-33-9P 561030-49-7P 561030-51-1P  
 561030-58-8P 561030-59-9P 561030-62-4P  
 561030-88-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biaryl compds. as melanocortin agonists or antagonists)

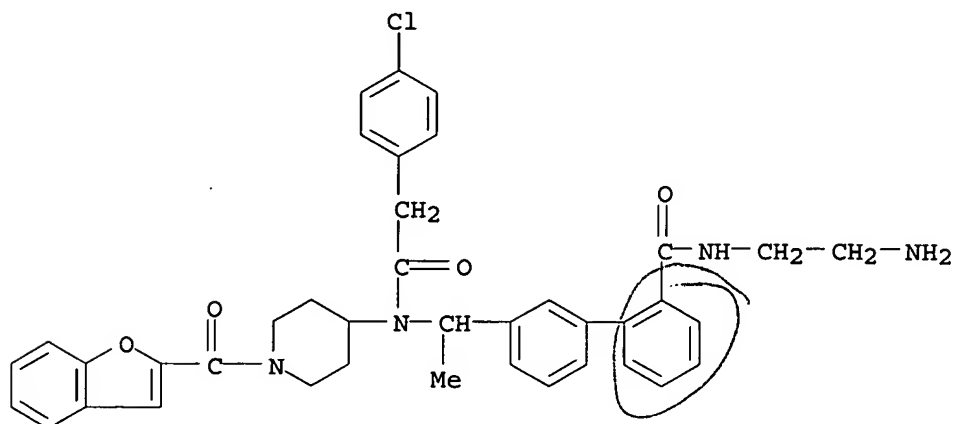
RN 561030-33-9 CAPLUS

CN 2-Naphthaleneacetamide, N-[1-[2'-[[[(2-aminoethyl)amino]carbonyl][1,1'-biphenyl]-3-yl]ethyl]-N-[1-(2-pyridinylcarbonyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



10/601,070

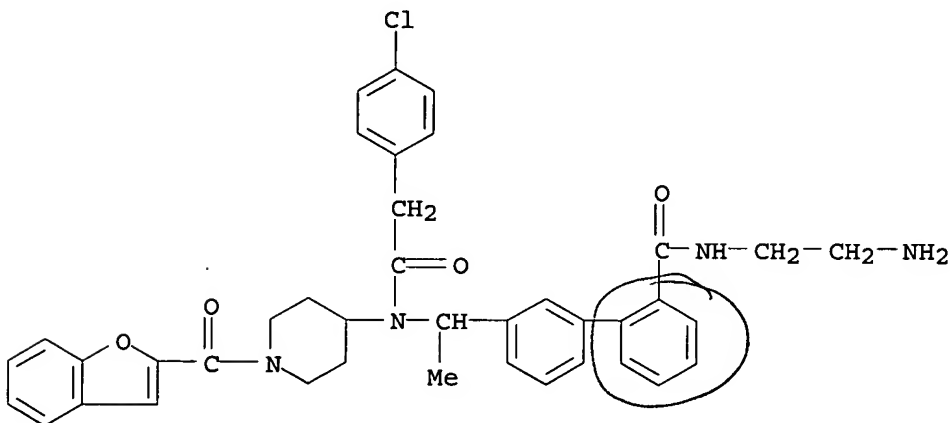
benzofuranylcarbonyl)-4-piperidinyll [(4-chlorophenyl) acetyl] amino] ethyl] -,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 561030-88-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-(2-aminoethyl)-3'-[1-[[1-(2-benzofuranylcarbonyl)-4-piperidinyll [(4-chlorophenyl) acetyl] amino] ethyl] -  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:676749 CAPLUS

DOCUMENT NUMBER: 135:242140

TITLE: Preparation of N-piperidinyll-N-alkyl-acetamides and  
N,N,N'-substituted ureas as 5-HT2A inverse  
agonists/antagonists

INVENTOR(S): Andersson, Carl M.; Croston, Glenn; Hansen, E. L.;  
Uldam, A. K.

PATENT ASSIGNEE(S): Acadia Pharmaceuticals, Inc., USA

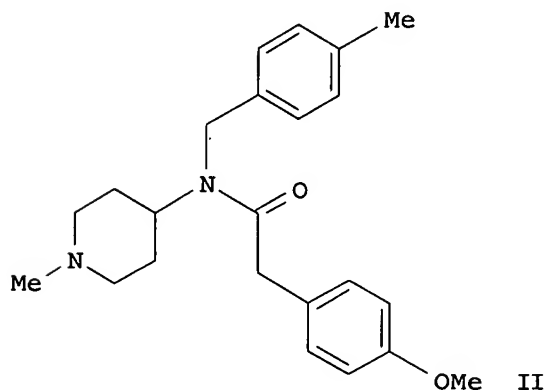
SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

10/601,070

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066521	A1	20010913	WO 2001-US7187	20010306
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2397981	AA	20010913	CA 2001-2397981	20010306
US 2002004513	A1	20020110	US 2001-800096	20010306
US 6815458	B2	20041109		
EP 1263729	A1	20021211	EP 2001-914716	20010306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531829	T2	20031028	JP 2001-565339	20010306
BR 2001008977	A	20040106	BR 2001-8977	20010306
AU 780006	B2	20050224	AU 2001-40072	20010306
NZ 520240	A	20050429	NZ 2001-520240	20010306
ZA 2002005902	A	20031023	ZA 2002-5902	20020723
US 2003220316	A1	20031127	US 2003-409782	20030407
US 6756393	B2	20040629		
US 2005014757	A1	20050120	US 2004-802970	20040316
AU 2005202257	A1	20050616	AU 2005-202257	20050524
PRIORITY APPLN. INFO.:			US 2000-187289P	P 20000306
			US 2001-800096	A1 20010306
			WO 2001-US7187	W 20010306
			US 2003-409782	A1 20030407
OTHER SOURCE(S):			MARPAT 135:242140	
GI				



AB Title compds. Ar1-Y2-Y1-N(Z)-C:W-X1-X2-Ar2 [Z = NR-substituted piperidiny1, tropany1, azetidiny1, etc.; R = H, cyclic/straight-chain acyclic organyl group, hydroxyalkyl, aminoalkyl, aralkyl or heteroaralkyl group; X1 = CH2, vinylene, NH or N-alkyl; X2 = CH2, or, when X1 = CH2 or vinylene, X2 = CH2 or a bond; or when X1 is CH2, X2 = O, S, NH, N(lower alkyl) or a bond; Y1 = CH2 and Y2 = CH2, vinylene, ethylene, propylene, bond; or Y1 = bond and Y2 = vinylene; or Y1 = ethylene and Y2 = O, S, NH,

N(lower alkyl); Ar1 and Ar2 = (un)substituted (hetero)aryl provided that Ar1 and Ar2 are not simultaneously phenyl; W = O, S; I] were prepared. Examples include over 130 compds. synthesized, 5 serotonin receptor binding assays and 3 in-vivo models. For instance, 4-methylbenzylamine was reductively alkylated with 1-methyl-4-piperidone (MeOH, HOAc, NaCNBH<sub>3</sub>, 20 h., room temperature). The resulting amine was alkylated with 4-methoxyphenylacetyl chloride (DCM, 4 h., room temperature) to give II, isolated as the hydrochloride salt and subsequently purified by chromatog. Many of the examples had pIC<sub>50</sub> (-log IC<sub>50</sub>) = 7.8 - 9.0 for HT2A. I are used for the treatment of disease in which modification of serotonergic receptor activity has a beneficial effect.

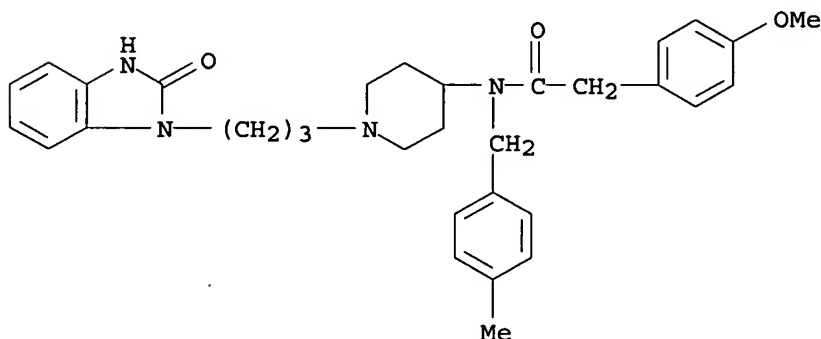
IT 359878-98-1P 359879-00-8P 359879-02-0P  
359881-34-8P 359881-39-3P 359881-41-7P  
359881-43-9P 359881-45-1P 359881-47-3P  
359881-49-5P 359881-51-9P 359881-53-1P  
359881-55-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of N-piperidinyl-N-alkyl-aryl-acetamides and N,N,N'-substituted ureas as 5-HT<sub>2A</sub> inverse agonists)

RN 359878-98-1 CAPLUS

CN Benzeneacetamide, N-[1-[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 359879-00-8 CAPLUS

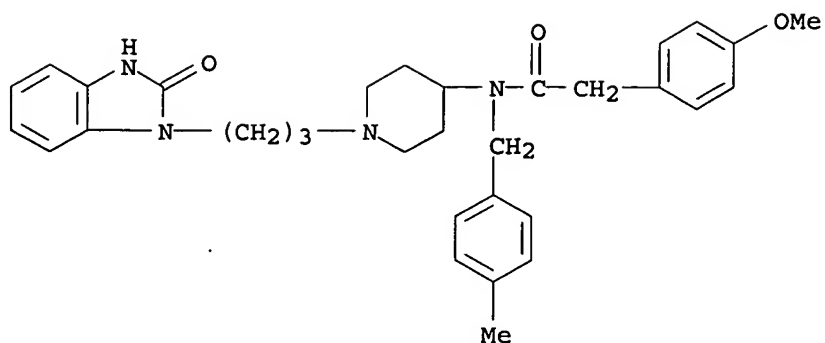
CN Benzeneacetamide, N-[1-[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 359878-98-1

CMF C32 H38 N4 O3

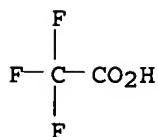
10/601,070



CM 2

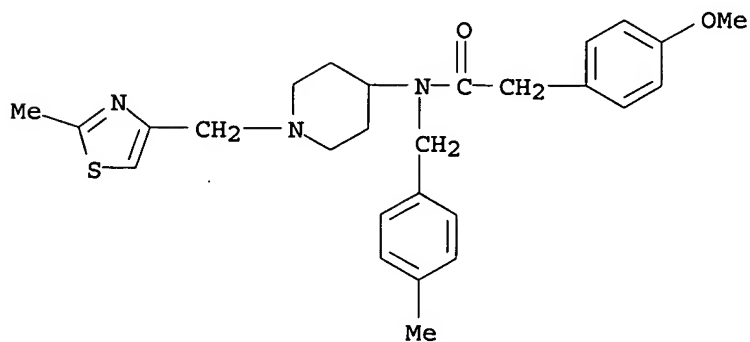
CRN 76-05-1

CMF C2 H F3 O2



RN 359879-02-0 CAPLUS

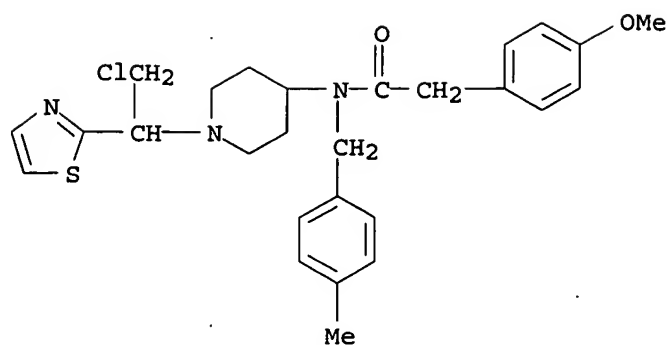
CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[(2-methyl-4-thiazolyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 359881-34-8 CAPLUS

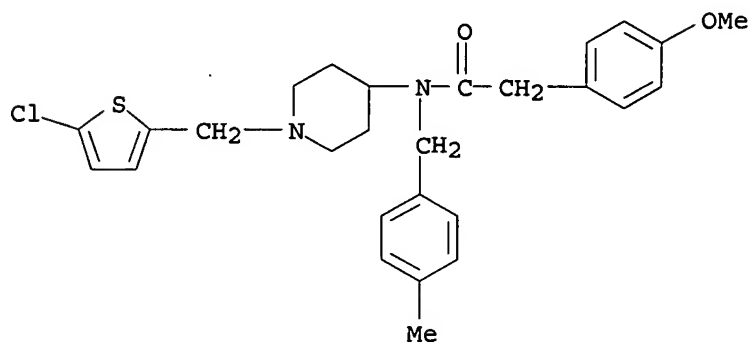
CN Benzeneacetamide, N-[1-[2-chloro-1-(2-thiazolyl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

10/601,070



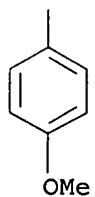
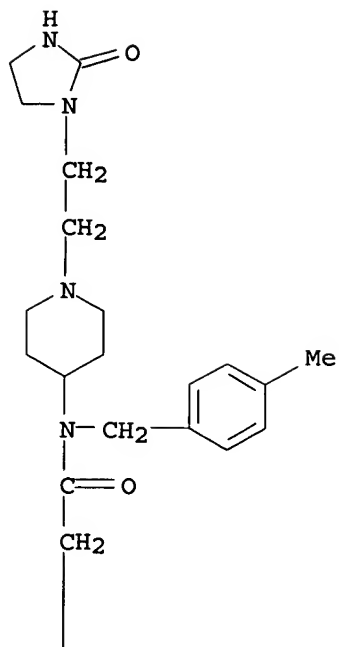
RN 359881-39-3 CAPLUS

CN Benzeneacetamide, N-[1-[(5-chloro-2-thienyl)methyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

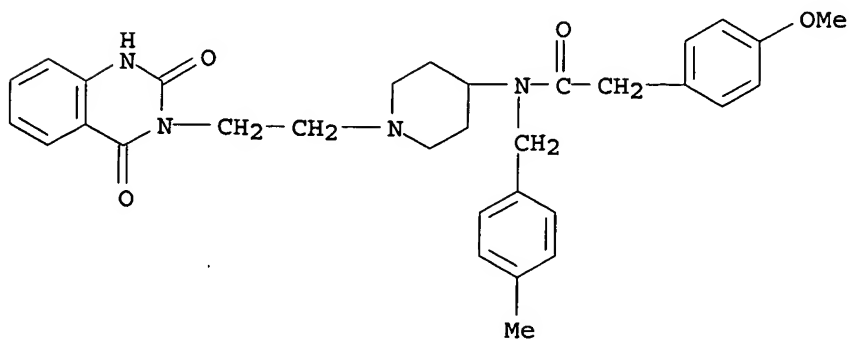


RN 359881-41-7 CAPLUS

CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[2-(2-oxo-1-imidazolidinyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



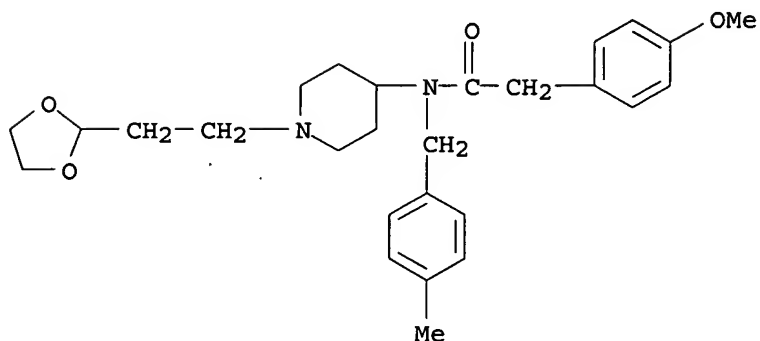
RN 359881-43-9 CAPLUS  
 CN Benzeneacetamide, N-[1-[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 359881-45-1 CAPLUS  
 CN Benzeneacetamide, N-[1-[2-(1,3-dioxolan-2-yl)ethyl]-4-piperidinyl]-4-

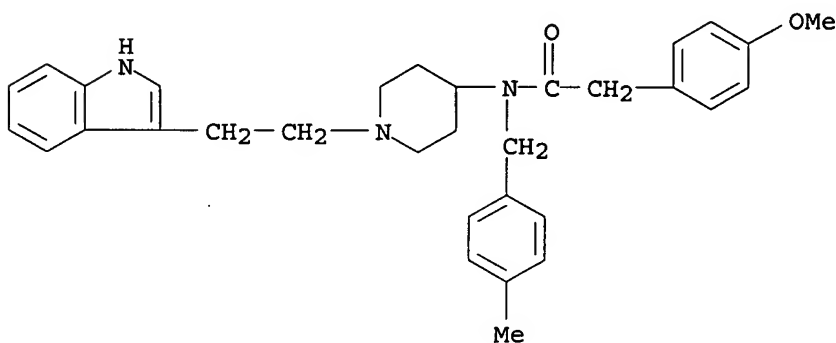
10/601,070

methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



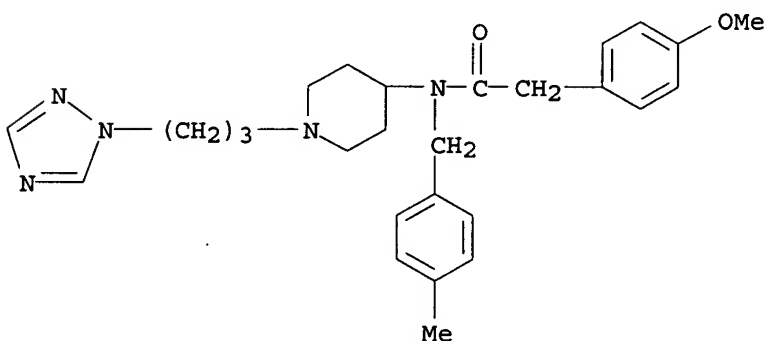
RN 359881-47-3 CAPLUS

CN Benzeneacetamide, N-[1-[2-(1H-indol-3-yl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 359881-49-5 CAPLUS

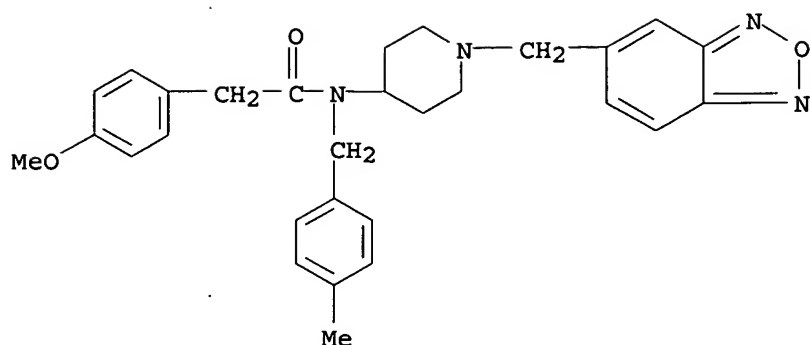
CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[3-(1H-1,2,4-triazol-1-yl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 359881-51-9 CAPLUS

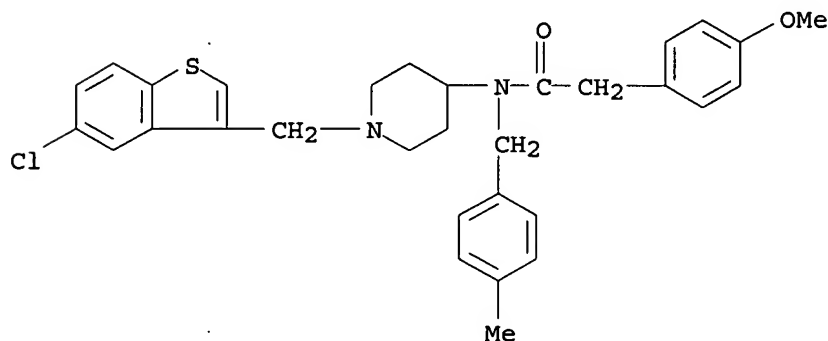
CN Benzeneacetamide, N-[1-(2,1,3-benzoxadiazol-5-ylmethyl)-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

10/601,070



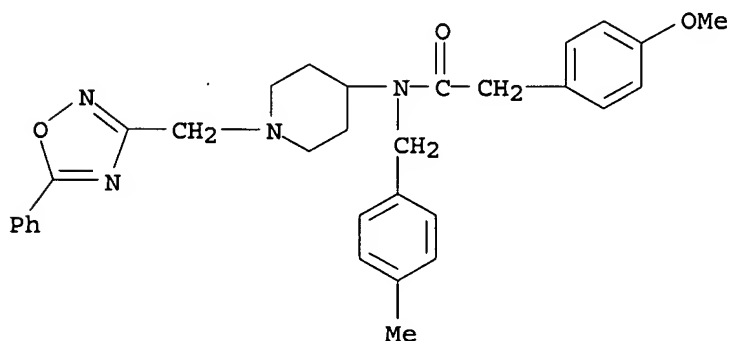
RN 359881-53-1 CAPLUS

CN Benzeneacetamide, N-[1-[(5-chlorobenzo[b]thien-3-yl)methyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 359881-55-3 CAPLUS

CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



IT 359879-04-2P

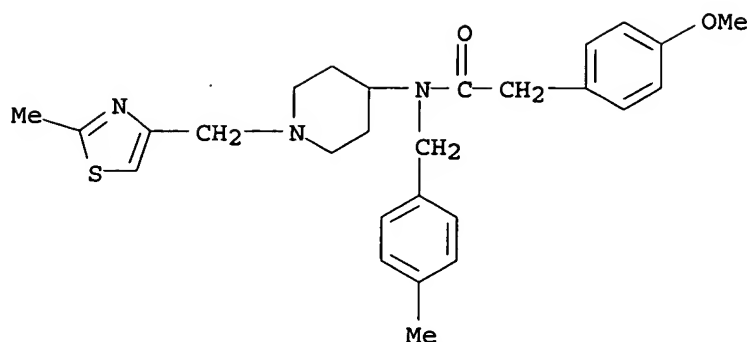
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-piperidinyl-N-alkyl-aryl-acetamides and N,N,N'-substituted ureas as 5-HT<sub>2A</sub> inverse agonists)

RN 359879-04-2 CAPLUS

CN Benzeneacetamide, 4-methoxy-N-[(4-methylphenyl)methyl]-N-[1-[(2-methyl-4-thiazolyl)methyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)





● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

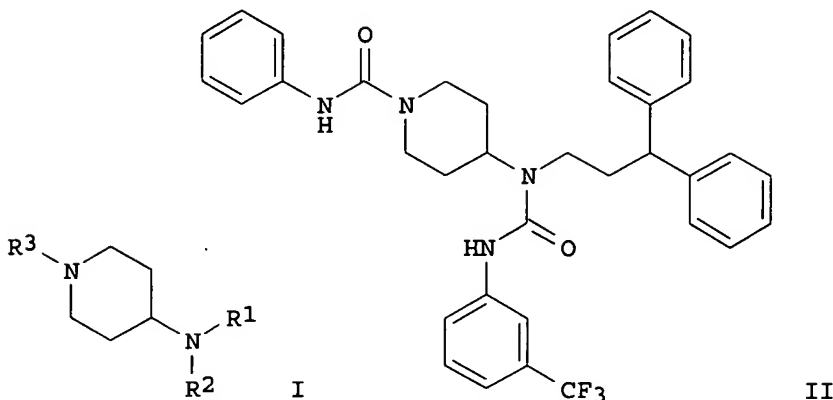
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 X  
 ACCESSION NUMBER: 2001:453019 CAPLUS  
 DOCUMENT NUMBER: 135:46106  
 TITLE: 4-Aminopiperidine derivatives, processes for their preparation, pharmaceutical compositions, and their use as medicines, specifically as somatostatin receptor ligands  
 INVENTOR(S): Thurieu, Christophe; Gonzalez, Jerome; Moinet, Christophe  
 PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.  
 SOURCE: PCT Int. Appl., 193 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044191	A1	20010621	WO 2000-FR3497	20001213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
FR 2802206	A1	20010615	FR 1999-15724	19991214
FR 2802206	B1	20050422		
CA 2394086	AA	20010621	CA 2000-2394086	20001213
EP 1286966	A1	20030305	EP 2000-993405	20001213
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003516965	T2	20030520	JP 2001-544681	20001213
NZ 520071	A	20030630	NZ 2000-520071	20001213
AU 779341	B2	20050120	AU 2001-28560	20001213
RU 2266282	C2	20051220	RU 2002-118705	20001213

10/601,070

US 2004006089	A1	20040108	US 2002-130924	20020523
US 2005239796	A1	20051027	US 2005-122293	20050504
PRIORITY APPLN. INFO.:			FR 1999-15724	A 19991214
			WO 2000-FR3497	W 20001213
			US 2002-130924	A3 20020523

OTHER SOURCE(S): MARPAT 135:46106  
GI



AB The invention concerns novel 4-aminopiperidine derivs. I [R<sub>1</sub> = alkyl, alkenyl, alkynyl, (CH<sub>2</sub>)<sub>m</sub>YZ<sub>1</sub>, (CH<sub>2</sub>)<sub>m</sub>Z<sub>2</sub>, 1-benzylpiperidin-4-yl, 2-naphthylcarbamoyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z<sub>1</sub> = alkyl or (un)substituted aryl; Z<sub>2</sub> = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un)substituted heterocycloalkyl, aryl, heteroaryl, etc.; R<sub>2</sub> = C(Y)NHX<sub>1</sub>, C(O)X<sub>2</sub>, SO<sub>2</sub>X<sub>3</sub>; R<sub>3</sub> = H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, C(Y)NHX<sub>1</sub>, (CH<sub>2</sub>)<sub>n</sub>C(O)X<sub>2</sub>, SO<sub>2</sub>X<sub>3</sub>, etc.; X<sub>1</sub> = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X<sub>2</sub> = wide variety of groups; X<sub>3</sub> = alkyl, alkenyl, phenylalkenyl, CF<sub>3</sub>, (un)substituted (hetero)aryl or -aralkyl; Y = O, S; n = 0-4; m = 1-6]. Also disclosed are methods for their preparation by parallel synthesis processes in liquid and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropancreatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive amination with 3,3-diphenylpropylamine and NaBH(OAc)<sub>3</sub>, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF<sub>3</sub>CO<sub>2</sub>H, and reaction with Ph isocyanate, to give title compound II. Some compds. I had sub-micromolar K<sub>i</sub> for at least one of five tested somatostatin receptor subtypes (no data).

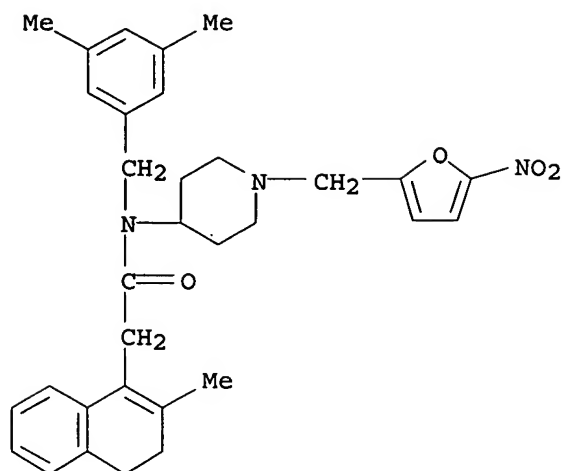
IT 344790-57-4P 344790-60-9P 344790-64-3P  
344790-68-7P 344790-72-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of aminopiperidine derivs. as somatostatin receptor ligands)

RN 344790-57-4 CAPLUS

CN 1-Naphthaleneacetamide, 3,4-dihydro-2-methyl-N-(1-naphthalenylmethyl)-N-[1-[(5-nitro-2-furanyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

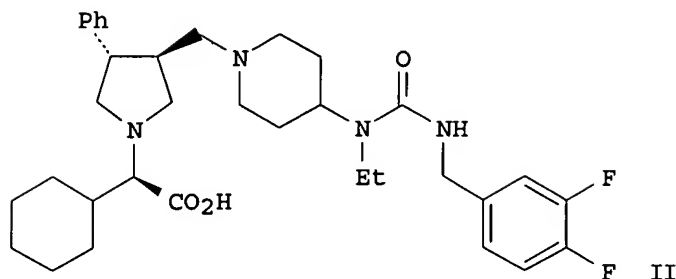
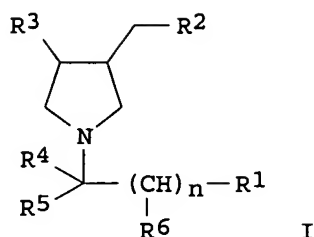
10/601,070



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

X L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2000:725458 CAPLUS  
DOCUMENT NUMBER: 133:296372  
TITLE: Preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine modulators of chemokine receptor activity  
INVENTOR(S): Berk, Scott; Caldwell, Charles; Chapman, Kevin; Hale, Jeffrey; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Willoughby, Christopher  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 200 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059497	A1	20001012	WO 2000-US9059	20000405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6399619	B1	20020604	US 2000-542898	20000404
PRIORITY APPLN. INFO.:			US 1999-128174P	P 19990406
OTHER SOURCE(S):	MARPAT 133:296372			
GI				



AB The title compds. (I) [wherein R1 = CO<sub>2</sub>H, NO<sub>2</sub>, tetrazolyl, hydroxyisoxazole, SO<sub>2</sub>NH(alkyl)R<sub>9</sub>, SO<sub>2</sub>NHCO(alkyl)R<sub>9</sub>, or PO<sub>3</sub>H<sub>2</sub>; R<sub>9</sub> = H, (cyclo)alkyl, benzyl, or (un)substituted phenyl; R<sub>2</sub> = (un)substituted piperidinyl, tetrahydropyridinyl, or piperazinyl; R<sub>3</sub> = (un)substituted Ph or heterocyclyl; R<sub>4</sub> = H or (un)substituted alkyl, (alkyl)cycloalkyl, alkenyl, alkynyl, Ph, alkylphenyl, naphthyl, biphenyl, heterocyclyl, cyclohexenyl, etc.; R<sub>5</sub> and R<sub>6</sub> = independently H or (un)substituted alkyl; or R<sub>4</sub> and R<sub>5</sub> may be joined together to form an (un)substituted C3-8 cycloalkyl ring; n = 1-3] were prepared as modulators of chemokine receptors, especially the chemokine receptors CCR-5 and/or CCR-3. For example, EtNH<sub>2</sub> and 1-tert-butoxycarbonyl-4-piperidone were reacted in the presence of DIEA and reduced with NaBH(OAc)<sub>3</sub> to give 4-(N-ethylamino)-1-tert-butoxycarbonylpiperidine (97%). Addition of carbonyldiimidazole and 3,4-difluorobenzylamine to the piperidine followed by deprotection with TFA afforded 4-(N-(N-(3,4-difluorobenzyl)carbamoyl)-N-ethylamino)piperidine•TFA (45%). Coupling the deprotected piperidine with the aldehyde 2-(R)-(3-(R)-formyl-4-(S)-phenylpyrrolidin-1-yl)-2-(cyclohexyl)acetic acid 4-methoxybenzyl ester (preparation given) in the presence of DIEA followed by reduction with NaBH(OAc)<sub>3</sub> gave II. I showed binding activity to the CCR-5 or the CCR-3 receptor, generally with IC<sub>50</sub> values of < 1 μM. The present invention is directed to compds. which inhibit the entry of human immunodeficiency virus (HIV) into target cells and are of value in the prevention and treatment of HIV infection and the resulting AIDS syndrome (no data). The invention is further directed to compds. which are useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders, including asthma, allergic rhinitis, dermatitis, conjunctivitis, rheumatoid arthritis, and atherosclerosis (no data).

IT 301232-14-4P 301232-15-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

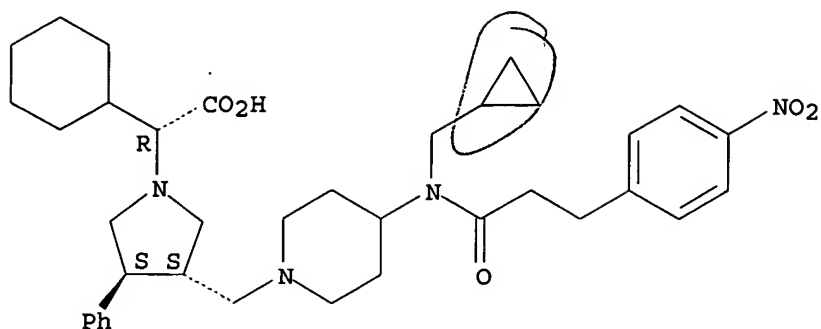
(preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine chemokine receptor modulators by reaction of 3-phenyl-4-formylpyrrolidines with heterocycles)

RN 301232-14-4 CAPLUS

CN 1-Pyrrolidineacetic acid, α-cyclohexyl-3-[[4-[(cyclopropylmethyl)[3-(4-nitrophenyl)-1-oxopropyl]amino]-1-piperidinyl]methyl]-4-phenyl-, (αR,3S,4S)- (9CI) (CA INDEX NAME)

10/601,070

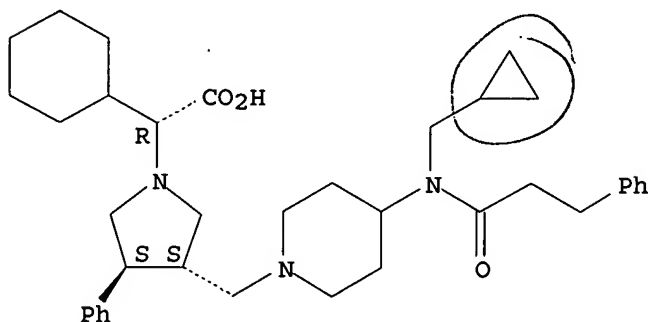
Absolute stereochemistry.



RN 301232-15-5 CAPLUS

CN 1-Pyrrolidineacetic acid,  $\alpha$ -cyclohexyl-3-[[4-[(cyclopropylmethyl) (1-oxo-3-phenylpropyl)amino]-1-piperidinyl)methyl]-4-phenyl-, ( $\alpha$ R,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2000:688091 CAPLUS  
DOCUMENT NUMBER: 133:261535  
TITLE: Methods for treating neurodegenerative disorders using aspartyl protease inhibitors  
INVENTOR(S): Ellman, Jonathan A.; Lynch, Gary; Kuntz, Irwin D.; Bi, Xiaoning; Lee, Christina E.; Skillman, A. Geoffrey; Haque, Tasir  
PATENT ASSIGNEE(S): The Regents of the University of California, USA  
SOURCE: PCT Int. Appl., 108 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056335	A1	20000928	WO 2000-US7804	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,				

10/601,070

MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,  
SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW  
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE

CA 2367112 AA 20000928 CA 2000-2367112 20000324  
EP 1178800 A1 20020213 EP 2000-916643 20000324

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

JP 2002539260 T2 20021119 JP 2000-606240 20000324  
US 2004157896 A1 20040812 US 2004-774262 20040205

PRIORITY APPLN. INFO.:

US 1999-125958P P 19990324  
US 1997-36903P P 19970204  
US 1998-18226 A2 19980203  
US 2000-534706 B1 20000324  
WO 2000-US7804 W 20000324

OTHER SOURCE(S): MARPAT 133:261535

AB Non-peptide aspartyl protease inhibitors, methods for modulating the  
processing of an amyloid precursor protein, methods for modulating the  
processing of a  $\tau$ -protein, and methods for treating neurodegenerative  
diseases are provided.

IT 296780-77-3 296780-78-4 296780-79-5  
296780-80-8 296780-84-2 296780-85-3  
296780-87-5 296780-88-6 296780-90-0

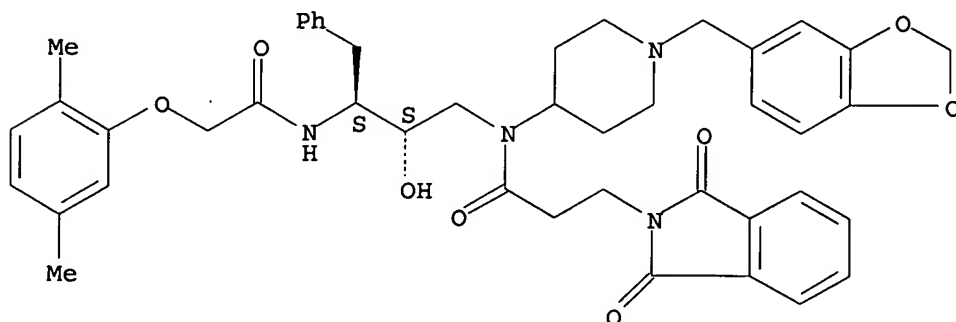
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(aspartyl protease inhibitors for modulating processing of amyloid  
precursor protein and of  $\tau$  protein and for treating  
neurodegenerative disorders)

RN 296780-77-3 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-  
piperidinyll]-N-[(2S,3S)-3-[[[(2,5-dimethylphenoxy)acetyl]amino]-2-hydroxy-4-  
phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



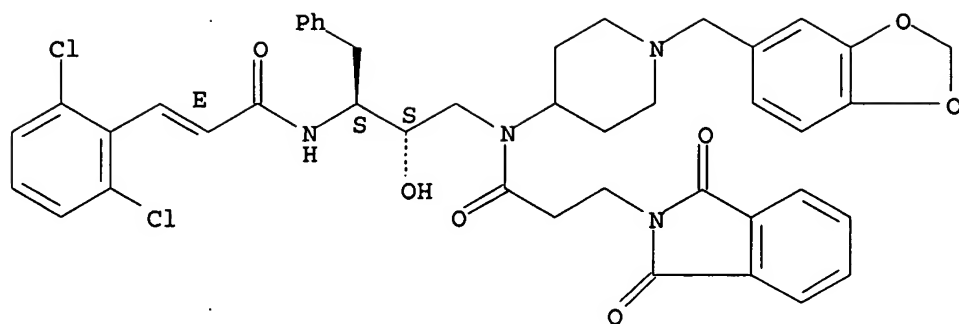
RN 296780-78-4 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-  
piperidinyll]-N-[(2S,3S)-3-[[[(2E)-3-(2,6-dichlorophenyl)-1-oxo-2-  
propenyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

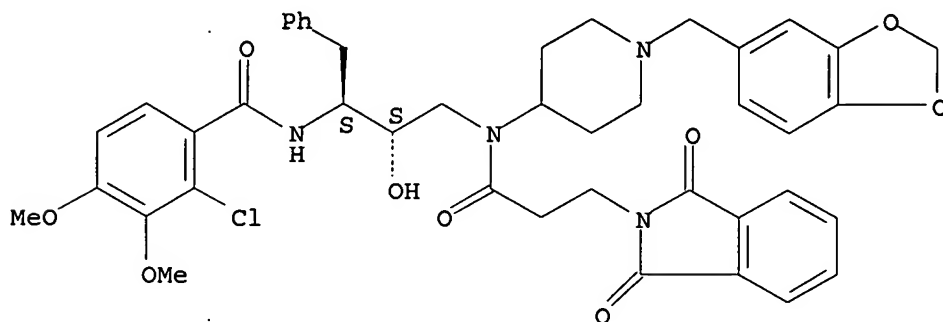
10/601,070



RN 296780-79-5 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[(2-chloro-3,4-dimethoxybenzoyl)amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

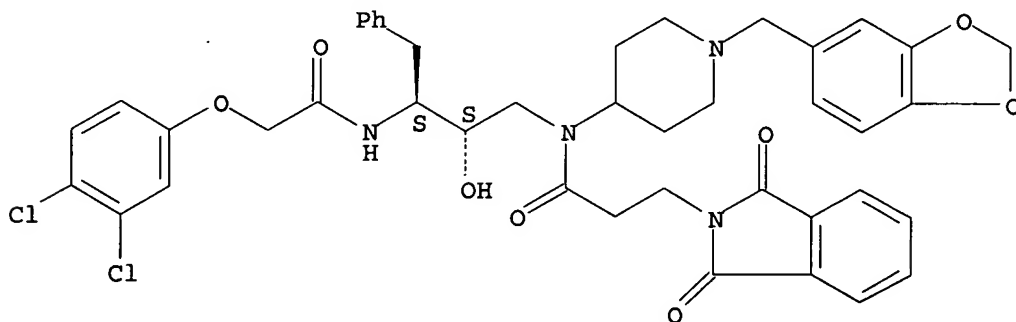
Absolute stereochemistry.



RN 296780-80-8 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[[(3,4-dichlorophenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

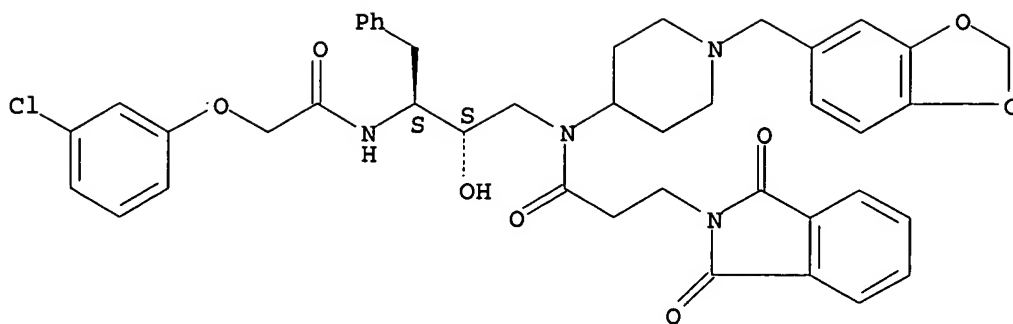


RN 296780-84-2 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-N-[(2S,3S)-3-[[[(3-chlorophenoxy)acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

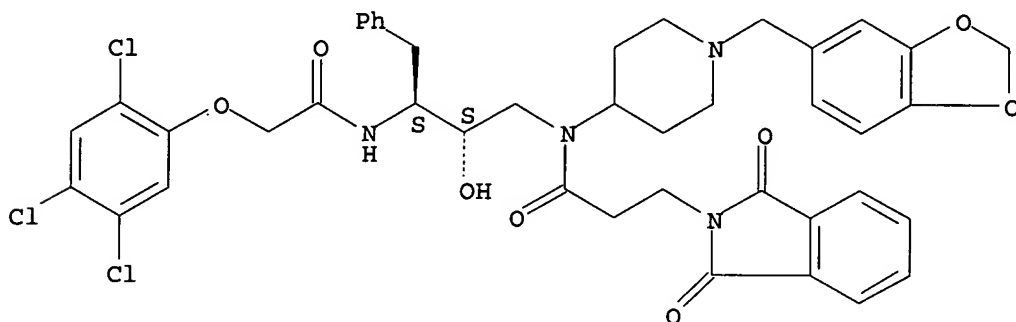
10/601,070



RN 296780-85-3 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidiny]-1,3-dihydro-N-[(2S,3S)-2-hydroxy-4-phenyl-3-[[2,4,5-trichlorophenoxy]acetyl]amino]butyl]-1,3-dioxo- (9CI) (CA INDEX NAME)

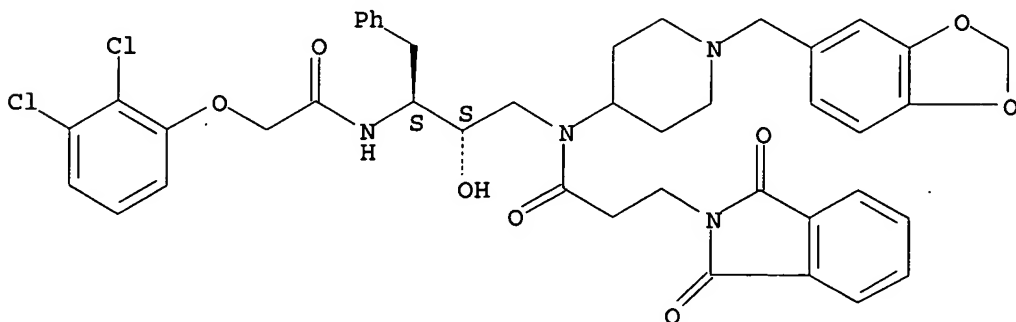
Absolute stereochemistry.



RN 296780-87-5 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidiny]-N-[(2S,3S)-3-[[[2,3-dichlorophenoxy]acetyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



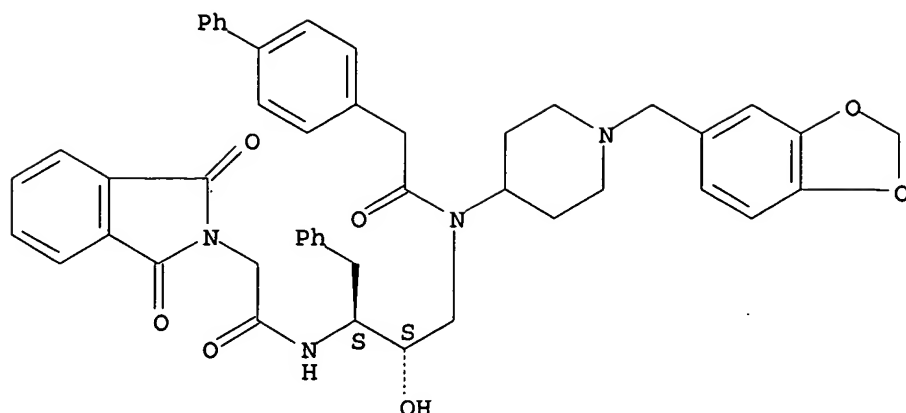
RN 296780-88-6 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[(1S,2S)-3-[[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidiny]([1,1'-biphenyl]-4-ylacetyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



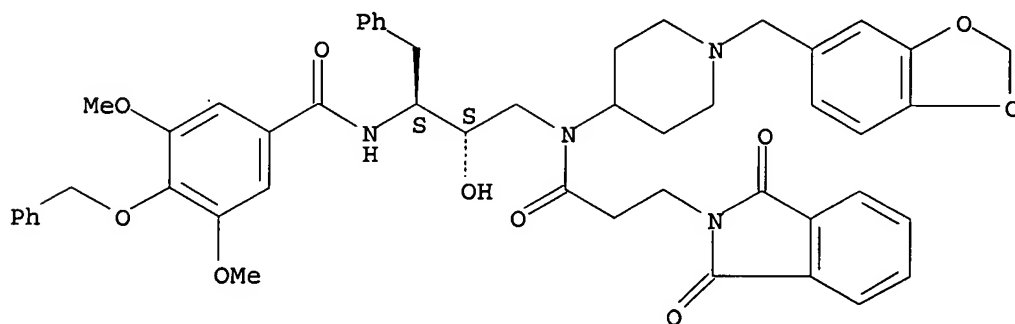
10/601,070



RN 296780-90-0 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidiny]-N-[(2S,3S)-3-[[3,5-dimethoxy-4-(phenylmethoxy)benzoyl]amino]-2-hydroxy-4-phenylbutyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 08:45:31 ON 20 JUN 2006)

FILE 'REGISTRY' ENTERED AT 08:45:49 ON 20 JUN 2006

L1 STRUCTURE UPLOADED

L2 14 S L1

L3 267 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:47:04 ON 20 JUN 2006

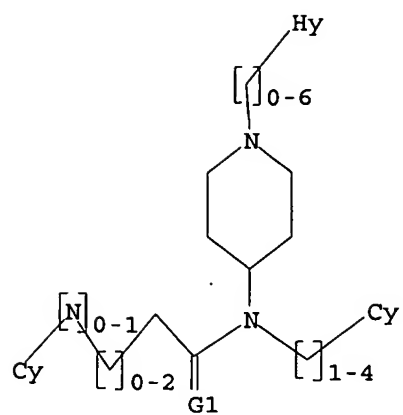
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L1 HAS NO ANSWERS

L1 STR

10/601,070



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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